OPIC
Office de la propriété intellectuelle du Canada

CIPO
Canadian Intellectual Property Office

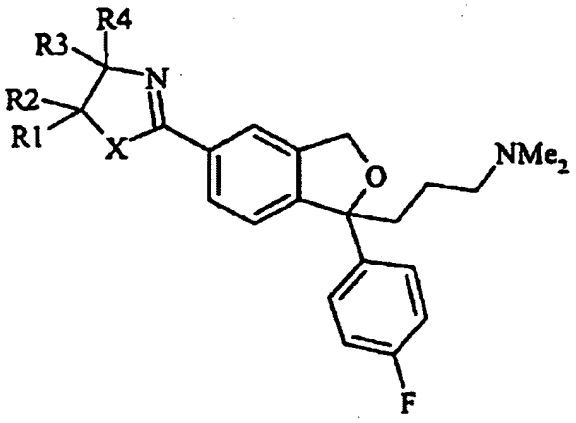
(12)(19)(CA) **Demande Application**

(21)(A1) **2,291,134**
(86) 1999/10/19
(87) 2000/04/20

(72) DALL'ASTA, LEONE, IT
(72) CASAZZA, UMBERTO, IT
(72) PETERSEN, HANS, DK
(71) H. LUNDBECK A/S, DK

(51) Int.Cl.[7] C07D 307/87, C07D 277/60, C07D 263/52, C07D 263/14, C07D 277/10, C07D 417/04, C07D 413/04
(30) 1998/10/20 (MI 98 A 002242) IT
(30) 1999/05/25 (MI 99 A 001152) IT
(30) 1999/08/02 (MI 99 A 001724) IT

(54) **METHODE DE PREPARATION DE LA CITALOPRAM**
(54) **METHOD FOR THE PREPARATION OF CITALOPRAM**



formula IV

(57) The present invention relates to a method for the preparation of citalopram or any of its enantiomers and acid addition salts thereof comprising treatment of a compound of formula IV (see formula IV) wherein X is O or S; R^1-R^2 are each independently selected from hydrogen and C_{1-6} alkyl, or R^1 and R^2 together form a C_{2-5} alkylene chain thereby forming a spiro-ring; R^3 is selected from hydrogen and C_{1-6} alkyl, R^4 is selected from hydrogen, C_{1-6} alkyl, a carboxy group or a precursor group therefore, or R^3 and R^4 together form a C_{2-5} alkylene chain thereby forming a spiro-ring, with a dehydration agent or alternatively where X is S, thermally cleavage of the thiazoline ring, or treatment in presence of a radical initiator, to form citalopram. The invention also relates to intermediates used in the new process for the preparation of citalopram, as well as citalopram prepared according to the new process.